

# PATENT COOPERATION TREATY

## PCT

### INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY


(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

REC'D 03 NOV 2005

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Applicant's or agent's file reference SF-1099		<b>FOR FURTHER ACTION</b>		See Form PCT/PEA/416
International application No. PCT/JP2004/015457		International filing date (day/month/year) 13.10.2004	Priority date (day/month/year) 14.10.2003	
International Patent Classification (IPC) or national classification and IPC A61K7/48, C07D307/62				
Applicant SHOWA DENKO K.K.				
<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 7 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> sent to the applicant and to the International Bureau) a total of sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (Indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>				
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the opinion</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input checked="" type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input checked="" type="checkbox"/> Box No. VIII Certain observations on the international application</p>				
Date of submission of the demand  07.03.2005		Date of completion of this report  02.11.2005		
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465		Authorized Officer  Miller, B  Telephone No. +49 89 2399-		



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**Box No. I Basis of the report**

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1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ This report is based on translations from the original language into the following language , which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3 and 23.1(b))
  - ☐ publication of the international application (under Rule 12.4)
  - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements\*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report):*

**Description, Pages**

1-58 as originally filed

**Claims, Numbers**

1-18 as originally filed

- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages
  - ☐ the claims, Nos.
  - ☐ the drawings, sheets/figs
  - ☐ the sequence listing (*specify*):
  - ☐ any table(s) related to sequence listing (*specify*):
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages
  - ☐ the claims, Nos.
  - ☐ the drawings, sheets/figs
  - ☐ the sequence listing (*specify*):
  - ☐ any table(s) related to sequence listing (*specify*):

\* If item 4 applies, some or all of these sheets may be marked "superseded."

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**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

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1. Statement

Novelty (N)	Yes: Claims	5,8-10
	No: Claims	1-4,6-7,11-18
Inventive step (IS)	Yes: Claims	
	No: Claims	1-18
Industrial applicability (IA)	Yes: Claims	1-18
	No: Claims	

2. Citations and explanations (Rule 70.7):

**see separate sheet**

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**Box No. VI Certain documents cited**

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1. Certain published documents (Rule 70.10)

and /or

2. Non-written disclosures (Rule 70.9)

**see separate sheet**

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**Box No. VIII Certain observations on the international application**

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The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

**see separate sheet**

**Re Item V**

**Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

**1. Novelty (Article 33(2) PCT)**

The present application does not meet the criterion set forth in Article 33(2) PCT because the subject-matter of claims 1-4,6,7,11-18 is not new in respect of the prior art as defined in the regulations (Rule 64(1)-(3) PCT).

1.1. The following documents disclose already compositions falling within the scope of present claim 1-4,6,7,11-13.

- a) **EP-A- 1 077 066** (D1) discloses cosmetic compositions (example 8: cream) comprising 6 wt.-% propylene glycol and 7 wt.-% sodium 6-palmitoyloxy-L-ascorbic acid-2-phosphate. A similar composition (ointment) is shown in example 10 comprising as polyhydric alcohols 12 wt.-% of propylene glycol and 1 wt.-% of a glycerin derivative and additionally two ascorbic acid derivatives (6-palmitoyloxy or 6-stearoyloxy-L-ascorbic acid-2-phosphate salt).
- b) **XP-002073274** (D2) reports skin cosmetics comprising 4 wt.-% 6-dodecanoylascorbic acid-2-phosphate Mg salt and 8 wt.-% of glycerin.
- c) **JP-A-2003-267856** (D3) discloses cosmetic creams (paragraph [0073]-[0076]) comprising butylene glycol, glycerol and sodium 6-palmitoyloxy-L-ascorbic acid-2-phosphate.
- d) **JP-A-2003-176217** (D4) discloses cosmetic creams (paragraph [0030]-[0035]) comprising propylene glycol and sodium 6-palmitoyloxy-L-ascorbic acid-2-phosphate.

1.2. Claim 14 is directed to a cosmetic composition comprising the composition according to claim 1. Thus, the same argumentation with respect to novelty applies to claim 14 as for claim 1.

1.3. Claim 15 is directed to a method. Said method is defined by the presence of two components. Since the compositions exemplified in documents D1-D4 are stable compositions, the method according to present claim 15 is implicitly known from said documents.

- 1.4. Claim 17 is directed to a stabilizer which is defined by the component which should be stabilized.

However, the indication of an intended use is not a distinguishing feature (Guidelines, Chapter 12.05) which has to be considered when evaluating novelty.

Thus, claim 17 is directed simply to polyhydric alcohols. Such polyhydric alcohols (e.g. glycerin) are known in the art for more than 100 years. Specific representatives are e.g. mentioned in document D1 (examples): dipropylene glycol, sorbitol.

Thus, the subject-matter of claims 17 and 18 also lacks novelty.

**2. Inventive Step (Article 33(3) PCT)**

- 2.1. The present application is directed to cosmetic compositions for skin external use comprising an ascorbic acid derivative.

The documents D1-D4 cited above relate to the same general field as the present application and all describe stable cosmetic compositions comprising the same type of ascorbic acid derivative as defined by claim 1 of the present application.

Thus any of these documents can be regarded as the closest prior art for the subject-matter of present claims 5, 8-10.

The subject-matter of said claims differs from the teaching of documents D1-D4 in that an alternative fatty acid residual (R group) is used (present claim 5) or in that alternative polyhydric alcohols are present (present claims 8-10).

Thus, the objective technical problem has to be regarded as to provide alternative compositions.

Arbitrarily changing the length of the R residue or replacing arbitrarily the cosolvent (e.g. glycerin, propylene glycol) used according to D1-D4 by an equivalent alcohol (e.g. dipropylene glycol) comes within the routine practice of the skilled person, in particular since it is known e.g. from document D1 that salts of ascorbic acid derivatives are soluble in dipropylene glycol (D1: formulation example 3).

Moreover, the present application is silent with respect to advantages achieved by using specific alcohols or specific ascorbic acid derivatives. In fact, most of the examples of the present application make use of exactly the same components as used according to D1-D4.

The solution proposed in claims 5, 8-10 of the present application thus cannot be considered as involving an inventive step (Article 33(3) PCT).

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2.2. Furthermore it is observed, that several examples indicated as examples according to the invention (examples 1,2,5,6,16) do not achieve better results than the corresponding comparative examples. Thus it seems that the underlying problem to be solved (providing a stable composition) is not achieved over the whole scope of protection. Thus, the subject-matter of claim 1 lacks an inventive step (Article 33(3) PCT).

**Re Item VI**

**Certain documents cited**

**Certain published documents**

Application No Patent No	Publication date (day/month/year)	Filing date (day/month/year)	Priority date (valid claim) (day/month/year)
WO-A-03/086384	23.10.2003	11.04.2003	12.04.2002

**WO-A-03/086384** (D5) discloses skin care compositions (examples) comprising L-ascorbic acid-2-phosphate-6-alkylate salt (e.g. hexyldodecanoate) and polyhydric alcohol (glycerin, glycol).

**Re Item VIII**

**Certain observations on the international application**

The following observations on the clarity of the claims and description or on the question whether the claims are fully supported by the description are made:

1. The term "higher fatty acid residual group" in present claim 1 has no well defined and precise meaning, contrary to Article 6 PCT.
2. When filing amended claims the applicant should at the same time bring the description into conformity with the amended claims. Care should be taken during revision, especially of the introductory portion and any statements of problem or advantage, not to add subject-matter which extends beyond the content of the application as originally filed (Article 34(2)(b) PCT).

The applicant should clearly **identify the amendments carried out**, irrespective of

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whether they concern amendments by addition, replacement or deletion, and to **indicate accurately the passages** of the application as filed **on which these amendments are based** (Rule 66.8 (a) PCT).

The amendments are preferred to be carried out in handwritten form on a copy of the relevant parts of the application as filed (Rule 66.8 (b) PCT) accompanied by a clean copy of the corresponding pages (Guidelines PCT/GL/ISPE/1, Chapter 20.6-20.8).